

# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference UCIVN-068PC	<b>FOR FURTHER ACTION</b>		see Form PCT/ISA/220 as well as, where applicable, item 5 below.
International application No. PCT/US05/09527	International filing date ( <i>day/month/year</i> ) 23 March 2005 (23.03.2005)	(Earliest) Priority Date ( <i>day/month/year</i> ) 23 March 2004 (23.03.2004)	
Applicant THE REGENTS OF THE UNIVERSITY OF CALIFORNIA			

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 5 sheets.



It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the Report**

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

**2. ☐ Certain claims were found unsearchable (See Box No. II)**

**3. ☒ Unity of invention is lacking (See Box No. III)**

**4. With regard to the title,**

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

**5. With regard to the abstract,**

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

**6. With regard to the drawings,**

- a. the figure of the **drawings** to be published with the abstract is Figure No. \_\_\_\_\_

☐ as suggested by the applicant.

☐ as selected by this Authority, because the applicant failed to suggest a figure.

☐ as selected by this Authority, because this figure better characterizes the invention.

- b. ☒ none of the figures is to be published with the abstract.

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US05/09527

## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:  
Please See Continuation Sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☒ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.: 1-49 (all in-part)
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐  
☐

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US05/09527

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/4015; C07D 233/42

US CL : 514/392; 548/324.5

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/392; 548/324.5

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
STN CAS ONLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 3,196,152 (WRIGHT ET AL.) 20 July 1965 (20/07/65), see entire document, especially Example XVI in column 6.	1-11, 19-21, 23-36, 44-46, 48, 49 (all in-part)
X	FR 1,516,714 (FARBENFABRIKEN BAYER A.-G.) 15 March 1968 (15/03/68), see entire document, especially Example 20 on page 6 in column 2.	1-13, 16, 17, 21, 23-38, 41, 42, 46, 48, 49 (all in-part)

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

\* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T"

later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X"

document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y"

document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&"

document member of the same patent family

Date of the actual completion of the international search

05 August 2005 (05.08.2005)

Date of mailing of the international search report

19 SEP 2005

Name and mailing address of the ISA/US

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# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US05/09527

## BOX III. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees must be paid.

Group I, claim(s) 1-20, 24-45 and 49, drawn to compositions and methods of using compounds of Formula I wherein n is 1; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is O.

Group II, claim(s) 1, 12-22, 24-26, 46, 47 and 49, drawn to compositions and methods of using compounds of Formula I wherein n is 1; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is O.

Group III, claim(s) 1-20, 24-45 and 49, drawn to compositions and methods of using compounds of Formula I wherein n is 2; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is O.

Group IV, claim(s) 1, 12-22, 24-26, 46, 47 and 49, drawn to compositions and methods of using compounds of Formula I wherein n is 2; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is O.

Group V, claim(s) 1-20, 24-45 and 49, drawn to compositions and methods of using compounds of Formula I wherein n is 3; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is O.

Group VI, claim(s) 1, 12-22, 24-26, 46, 47 and 49, drawn to compositions and methods of using compounds of Formula I wherein n is 3; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is O.

Group VII, claim(s) 1-20, 23, 25-45 and 48, drawn to compositions and methods of using compounds of Formula I wherein n is 1; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is S.

Group VIII, claim(s) 1, 12-23, 25, 26 and 46-48, drawn to compositions and methods of using compounds of Formula I wherein n is 1; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is S.

Group IX, claim(s) 1-20, 23, 25-45 and 48, drawn to compositions and methods of using compounds of Formula I wherein n is 2; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is S.

Group X, claim(s) 1, 12-23, 25, 26 and 46-48, drawn to compositions and methods of using compounds of Formula I wherein n is 2; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is S.

Group XI, claim(s) 1-20, 23, 25-45 and 48, drawn to compositions and methods of using compounds of Formula I wherein n is 3; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is S.

Group XII, claim(s) 1, 12-23, 25, 26 and 46-48, drawn to compositions and methods of using compounds of Formula I wherein n is 3; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is S.

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US05/09527

Group XIII, claim(s) 1-20 and 25-45, drawn to compositions and methods of using compounds of Formula I wherein n is 1; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is NH, N-alkyl, N-alkenyl, N-cycloalkyl, N-aryl or N-aralkyl.

Group XIV, claim(s) 1, 12-22, 25, 26, 46 and 47, drawn to compositions and methods of using compounds of Formula I wherein n is 1; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is NH, N-alkyl, N-alkenyl, N-cycloalkyl, N-aryl or N-aralkyl.

Group XV, claim(s) 1-20 and 25-45, drawn to compositions and methods of using compounds of Formula I wherein n is 2; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is NH, N-alkyl, N-alkenyl, N-cycloalkyl, N-aryl or N-aralkyl.

Group XVI, claim(s) 1, 12-22, 25, 26, 46 and 47, drawn to compositions and methods of using compounds of Formula I wherein n is 2; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is NH, N-alkyl, N-alkenyl, N-cycloalkyl, N-aryl or N-aralkyl.

Group XVII, claim(s) 1-20 and 25-45, drawn to compositions and methods of using compounds of Formula I wherein n is 3; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do not form a heterocyclic ring; and R<sub>5</sub> is NH, N-alkyl, N-alkenyl, N-cycloalkyl, N-aryl or N-aralkyl.

Group XVIII, claim(s) 1, 12-22, 25, 26, 46 and 47, drawn to compositions and methods of using compounds of Formula I wherein n is 3; R<sub>4</sub> is NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub> and R<sub>7</sub> do form a heterocyclic ring; and R<sub>5</sub> is NH, N-alkyl, N-alkenyl, N-cycloalkyl, N-aryl or N-aralkyl.

The inventions listed as Groups I-XVIII do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: the compounds of Formula I in the claimed compositions and methods of use lack a significant structural element qualifying as the special technical feature that defines a contribution over the prior art.

The compounds of Formula I have a 2-nitrogen containing ring that could result in a five, six or seven membered ring (see n variable), which does not define a contribution over the prior art. The substituents on the 2-nitrogen containing ring vary extensively and when taken as a whole result in vastly different compounds. Each of the groups set forth above represents a separate and discrete heterocyclic ring system, which one skilled in the art that besides sharing no significant structural element, cannot be said to belong to a recognized class of chemical compounds. Accordingly, the unity of invention is considered to be lacking and restriction of the invention in accordance with the rules of unity of invention is considered to be proper.